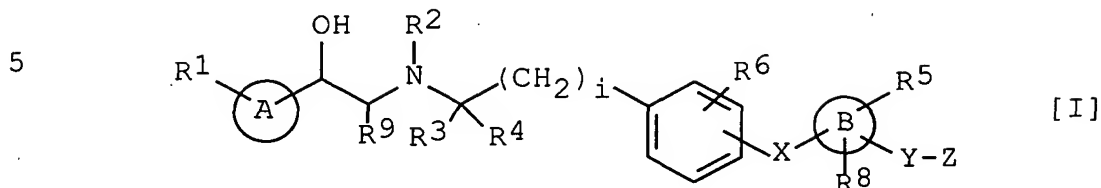
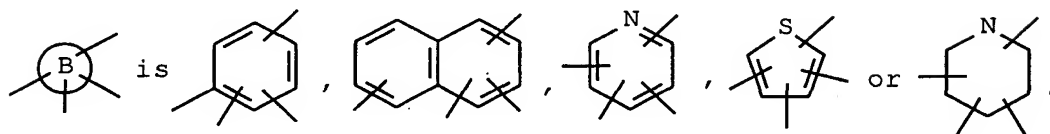
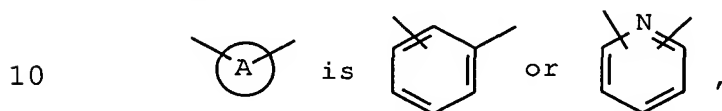


## C L A I M S

1. A compound of the formula [I]:



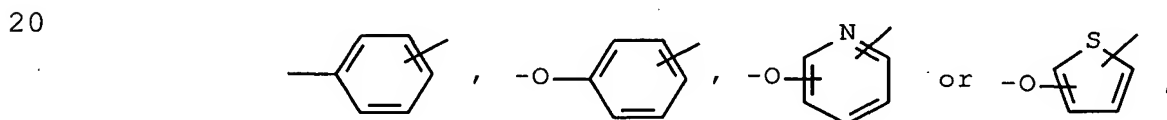
wherein



15 X is bond,  $-\text{CH}_2-$ ,  $-\underset{\text{OH}}{\text{CH}}-$ ,  $-\overset{\text{O}}{\underset{\text{||}}{\text{C}}}-$ ,  $-\text{O}-$ ,  $-\text{OCH}_2-$ ,  $-\text{CH}_2\text{O}-$ ,  $-\text{S}-$

or  $-\underset{\text{R}^7}{\text{N}}-$  (in which  $\text{R}^7$  is hydrogen or lower alkyl),

Y is bond,  $-\text{O}-(\text{CH}_2)_n-$  (in which n is 1, 2, 3 or 4),  
 $-(\text{CH}_2)_m-$  (in which m is 1, 2, 3 or 4),



Z is cyano, tetrazolyl, (benzylsulfonyl)carbamoyl,  
 benzoylsulfamoyl, formyl, carboxy or protected  
 carboxy,

25  $\text{R}^1$  is hydrogen, lower alkyl or halogen,

$\text{R}^2$  is hydrogen or an amino protective group,

$\text{R}^3$  is hydrogen or lower alkyl,

$\text{R}^4$  is hydrogen or lower alkyl,

30  $\text{R}^5$  and  $\text{R}^8$  are each independently hydrogen, halogen,  
 hydroxy, lower alkyl, lower alkenyl, lower alkoxy,  
 hydroxy(lower)alkoxy, mono(or di or  
 tri)halo(lower)alkoxy, lower alkoxy(lower)alkoxy,  
 lower alkenyloxy, cyclo(lower)alkyloxy,  
 35 cyclo(lower)alkyl(lower)alkoxy, benzyloxy, phenoxy,

lower alkylthio, cyclo(lower)alkylthio, lower  
alkylsulfonyl, cyclo(lower)alkylsulfonyl, amino,  
mono(or di)(lower)alkylamino, mono(or di or  
tri)halo(lower)alkyl, cyano, piperidinyl or phenyl,

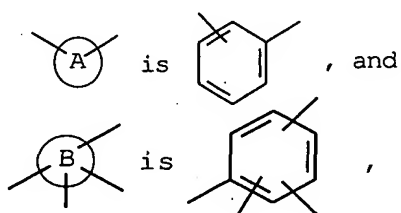
$R^6$  is hydrogen, lower alkyl or halogen,

$R^9$  is hydrogen or lower alkyl, and

$i$  is 1 or 2,

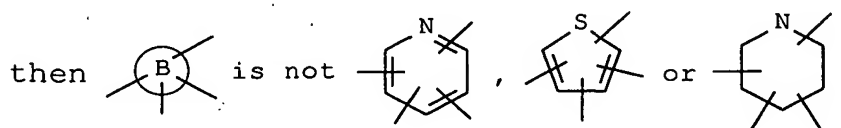
provided that

(1) when  $X$  is bond,  $-\text{CH}_2-$ ,  $-\text{CH}-$  or  $-\text{C}-$ ,  
 $\text{OH}$   $\text{O}$



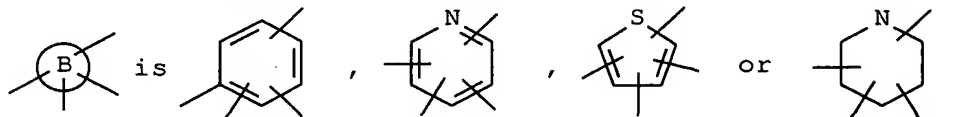
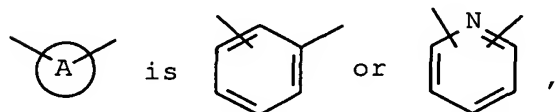
then  $R^5$  is not hydrogen, or

(2) when  $i$  is 1,



or a salt thereof.

2. A compound of claim 1, wherein

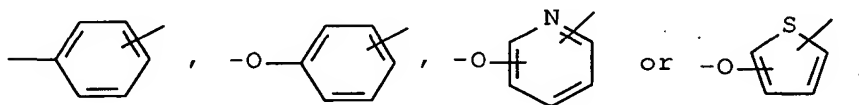


$X$  is bond,  $-\text{O}-$ ,  $-\text{OCH}_2-$ ,  $-\text{S}-$  or  $-\text{N}-$  (in which  $R^7$  is  
 $\text{R}^7$

hydrogen or lower alkyl),

$Y$  is bond,  $-\text{O}-(\text{CH}_2)_n-$  (in which  $n$  is 1, 2, 3 or 4),

$-(\text{CH}_2)_m-$  (in which  $m$  is 1, 2, 3 or 4),



Z is carboxy or lower alkoxycarbonyl,

R<sup>1</sup> is hydrogen or halogen,

R<sup>2</sup> is hydrogen,

R<sup>3</sup> is hydrogen or lower alkyl,

5 R<sup>4</sup> is hydrogen,

R<sup>5</sup> is halogen, hydroxy, lower alkyl, lower alkoxy,

hydroxy(lower)alkoxy, mono(or di or

tri)halo(lower)alkoxy, lower alkoxy(lower)alkoxy,

lower alkenyloxy, cyclo(lower)alkyloxy, phenoxy or

10 phenyl,

R<sup>6</sup> is hydrogen,

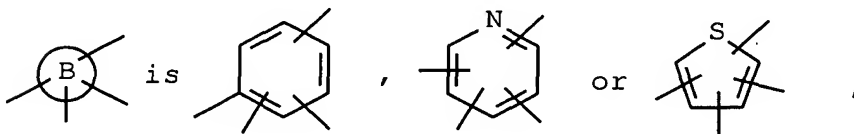
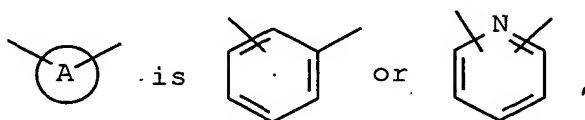
R<sup>8</sup> is hydrogen or lower alkyl,

R<sup>9</sup> is hydrogen or lower alkyl, and

i is 1 or 2.

15

3. A compound of claim 2, wherein



25 X is bond, -O-, -OCH<sub>2</sub>-, -S- or  $\begin{smallmatrix} -N- \\ | \\ R^7 \end{smallmatrix}$  (in which R<sup>7</sup> is hydrogen or lower alkyl),

Y is bond, -O-(CH<sub>2</sub>)<sub>n</sub>- (in which n is 1 or 2) or

-(CH<sub>2</sub>)<sub>m</sub>- (in which m is 1 or 2),

Z is carboxy or lower alkoxycarbonyl,

R<sup>1</sup> is hydrogen or halogen,

30 R<sup>2</sup> is hydrogen,

R<sup>3</sup> is hydrogen or lower alkyl,

R<sup>4</sup> is hydrogen,

R<sup>5</sup> is halogen, hydroxy, lower alkyl or lower alkoxy,

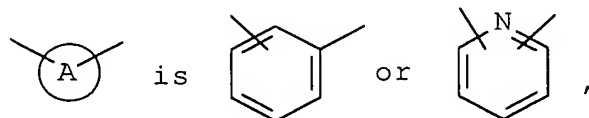
R<sup>6</sup> is hydrogen,

35 R<sup>8</sup> is hydrogen or lower alkyl,

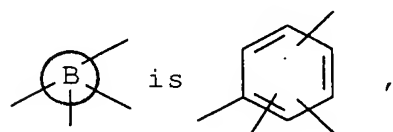
$R^9$  is hydrogen or lower alkyl, and  
i is 1.

4. A compound of claim 3, wherein

5



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X is bond,

Y is bond,

Z is carboxy or lower alkoxy carbonyl,

$R^1$  is hydrogen or halogen,

15

$R^2$  is hydrogen,

$R^3$  is hydrogen or lower alkyl,

$R^4$  is hydrogen,

$R^5$  is halogen, hydroxy, lower alkyl or lower alkoxy,

$R^6$  is hydrogen,

20

$R^8$  is hydrogen or lower alkyl,

$R^9$  is hydrogen or lower alkyl, and

i is 1.

5. A compound of claim 4, which selected from the group  
consisting of

25

(1) 4'-[2-[[ (2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]-amino]ethyl]-2-methyl-1,1'-biphenyl-4-carboxylic acid,

30

(2) 4'-[(2R)-2-[[ (2R)-2-Phenyl-2-hydroxyethyl]amino]-propyl]-3-methoxy-1,1'-biphenyl-4-carboxylic acid,

(3) 4'-[(2R)-2-[[ (2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]propyl]-3-isopropoxy-1,1'-biphenyl-4-carboxylic acid,

35

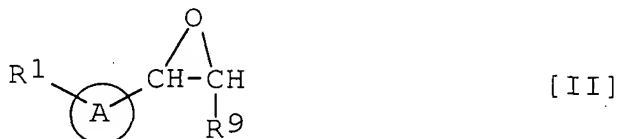
(4) 4'-[2-[[ (2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]-amino]ethyl]-3-methoxy-1,1'-biphenyl-4-carboxylic

acid,

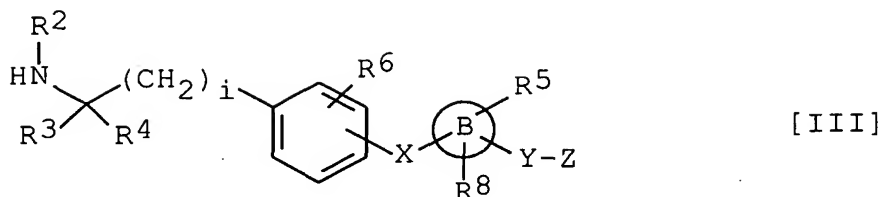
- (5) 4'-[2-[[ (2R)-2-(3-Chlorophenyl)-2-hydroxyethyl]-amino]ethyl]-2,3-dimethyl-1,1'-biphenyl-4-carboxylic acid,
- (6) 4'-[2-[[ (2R)-2-Hydroxy-2-(3-pyridyl)ethyl]amino]-ethyl]-2-methyl-1,1'-biphenyl-4-carboxylic acid,
- (7) 4'-[(2R)-2-[[ (2R)-2-Hydroxy-2-(3-pyridyl)ethyl]-amino]propyl]-3-methoxy-1,1'-biphenyl-4-carboxylic acid,
- (8) 4'-[2-[[ (2R)-2-(3-Fluorophenyl)-2-hydroxyethyl]-amino]ethyl]-3-propoxy-1,1'-biphenyl-4-carboxylic acid,
- (9) 4'-[(2R)-2-[[ (2R)-2-(3-Fluorophenyl)-2-hydroxyethyl]amino]propyl]-3-propoxy-1,1'-biphenyl-4-carboxylic acid,
- (10) 4'-[2-[[ (1S,2R)-2-Hydroxy-2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]-3-isopropoxy-1,1'-biphenyl-4-carboxylic acid, and
- (11) 4'-[2-[[ (2R)-2-Hydroxy-2-phenylethyl]amino]ethyl]-3-isobutyl-1,1'-biphenyl-4-carboxylic acid,
- or a pharmaceutically acceptable salt thereof.

6. A process for preparing a compound of claim 1, or a salt thereof,
- which comprises,

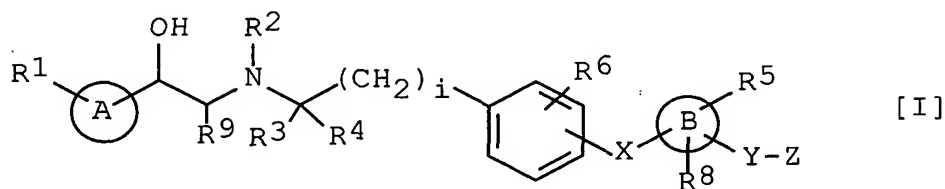
(i) reacting a compound [II] of the formula:



wherein  $\text{R}^1$ ,  $\text{R}^9$  and  $\text{A}$  are each as defined in claim 1, with a compound [III] of the formula:

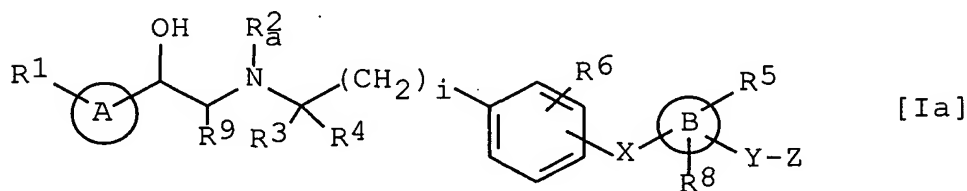


wherein , X, Y, Z, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>8</sup> and  
 i are each as defined in claim 1,  
 or a salt thereof, to give a compound [I] of the  
 formula:



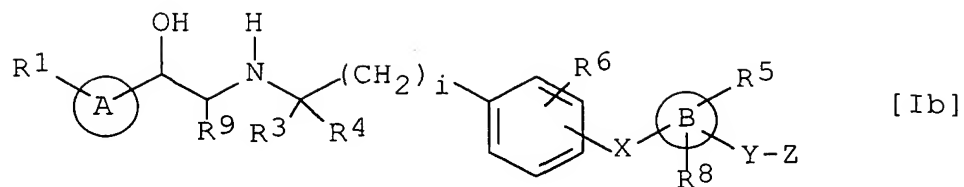
wherein , , X, Y, Z, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>,  
 R<sup>8</sup>, R<sup>9</sup> and i are each as defined in claim 1,  
 or a salt thereof,



(ii) subjecting a compound [Ia] of the formula:



wherein , , X, Y, Z, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>8</sup>,  
 R<sup>9</sup> and i are each as defined in claim 1,  
 and

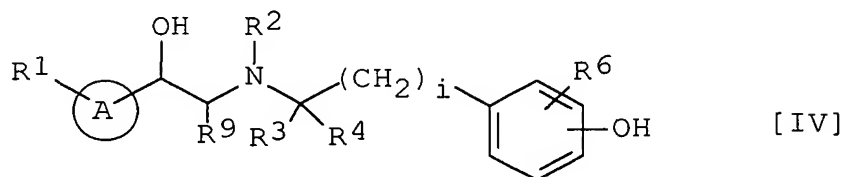
R<sub>a</sub><sup>2</sup> is an amino protective group,  
 or a salt thereof, to elimination reaction of the amino  
 protective group, to give a compound [Ib] of the




wherein , , X, Y, Z, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>8</sup>,

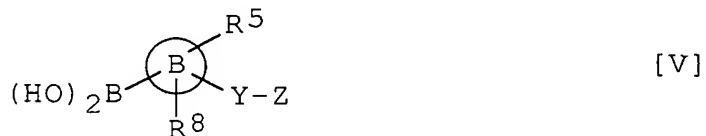
R<sup>9</sup> and i are each as defined in claim 1,  
or a salt thereof,


(iii) reacting a compound [IV] of the formula:



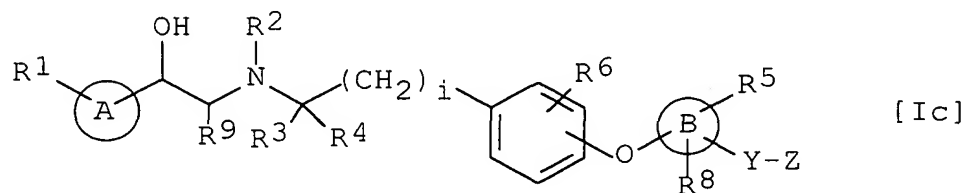
wherein , R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup>, R<sup>9</sup> and i are each as  
defined in claim 1,


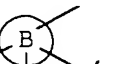
or a salt thereof, with a compound [V] of the formula:



wherein , Y, Z, R<sup>5</sup> and R<sup>8</sup> are each as defined in  
claim 1,

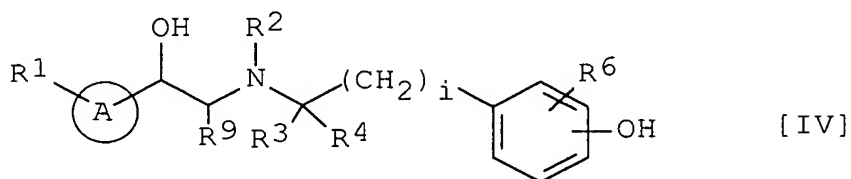
or a salt thereof, to give a compound [Ic] of the  
formula:




wherein , , Y, Z, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>8</sup>,

$R^9$  and  $i$  are each as defined in  
claim 1,  
or a salt thereof,

5 (iv) reacting a compound [IV] of the formula:



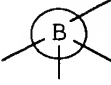
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wherein ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^6$ ,  $R^9$  and  $i$  are each as  
defined in claim 1,  
or a salt thereof, with a compound [VI] of the formula:

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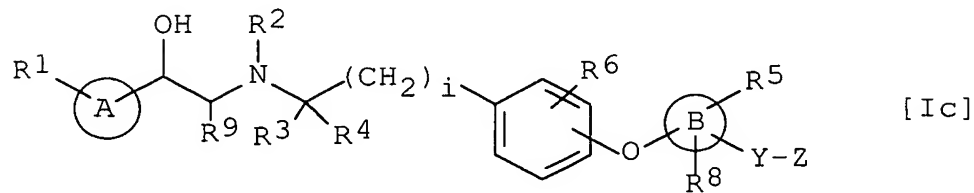


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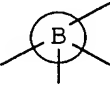
wherein ,  $Y$ ,  $Z$ ,  $R^5$  and  $R^8$  are each as defined in  
claim 1, and

$X_1$  is a leaving group,  
or a salt thereof, to give a compound [Ic] of the  
formula:

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wherein , ,  $Y$ ,  $Z$ ,  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,

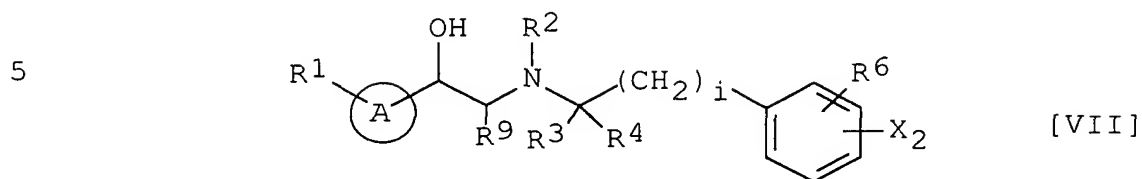
$R^8$ ,  $R^9$  and  $i$  are each as defined in  
claim 1,


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or a salt thereof,

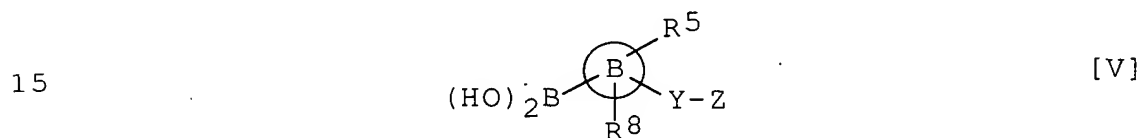



(v) reacting a compound [VII] of the formula:



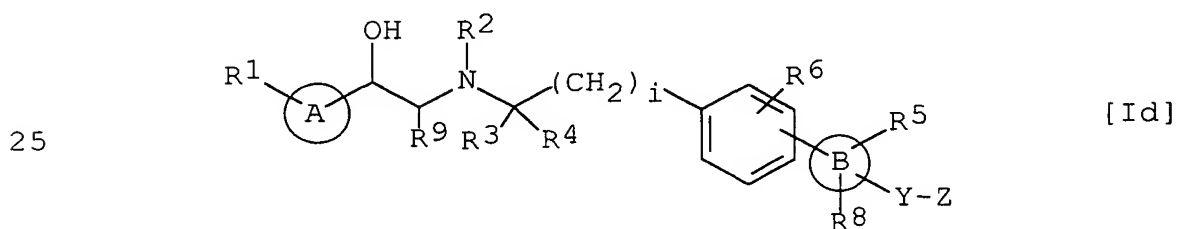
10 wherein , R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup>, R<sup>9</sup> and i are each as defined in claim 1,



X<sub>2</sub> is a leaving group,  
or a salt thereof, with a compound [V] of the formula:



20 wherein , Y, Z, R<sup>5</sup> and R<sup>8</sup> are each as defined in claim 1,

or a salt thereof, to give a compound [Id] of the formula:

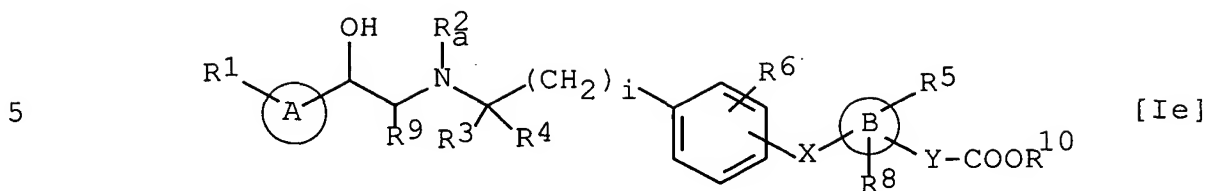


30 wherein , , Y, Z, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>8</sup>,

R<sup>9</sup> and i are each as defined in claim 1,  
or a salt thereof, and

(vi) subjecting a compound [Ie] of the formula:

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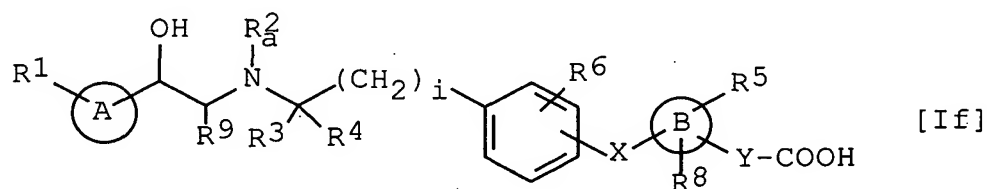


wherein , , X, Y, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>8</sup>,

10 R<sup>9</sup> and i are each as defined in claim 1,  
R<sup>10</sup> is lower alkyl, and

R<sub>a</sub><sup>2</sup> is an amino protective group,  
or a salt thereof, to deesterification reaction, to  
give a compound [If] of the formula:

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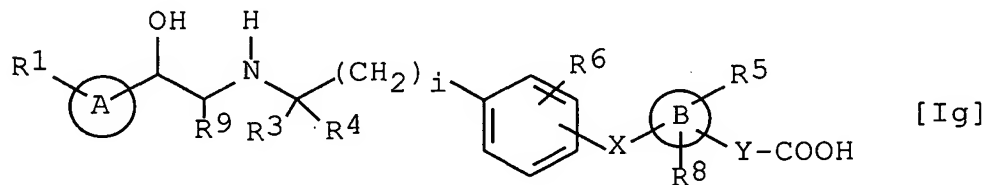
wherein , , X, Y, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>8</sup>,

R<sup>9</sup> and i are each as defined in claim 1,  
and

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R<sub>a</sub><sup>2</sup> is defined above,  
or a salt thereof, and then subjecting the compound  
[If] above to elimination reaction of amino protective  
group, to give a compound [Ig] of the formula:

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wherein , , X, Y, R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>8</sup>,

or a salt thereof.

7. A pharmaceutical composition which comprises, as an active ingredient, a compound of claim 1 or a pharmaceutically acceptable salt thereof in admixture with pharmaceutically acceptable carriers or excipients.
8. Use of a compound of claim 1 or a pharmaceutically acceptable salt thereof for the manufacture of a medicament.
9. A compound of claim 1 or a pharmaceutically acceptable salt thereof for use as a medicament.
10. A compound of claim 1 or a pharmaceutically acceptable salt thereof for use as selective  $\beta_3$  adrenergic receptor agonists.
11. A method for the prophylactic and/or the therapeutic treatment of pollakiuria or urinary incontinence which comprises administering a compound of claim 1 or a pharmaceutically acceptable salt thereof to a human being or an animal.